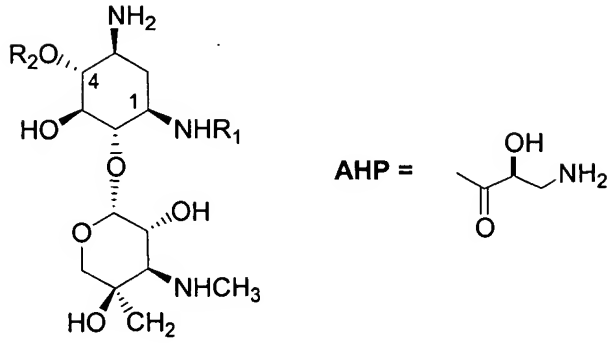
TITLE: New Aminoglycoside Antibiotics as Novel Anti-Infective Agents

APPLICANTS: Chang-Hsing Liang, et al.

DOCKET: 8024-004-US



Gentamicin-Based

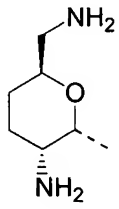
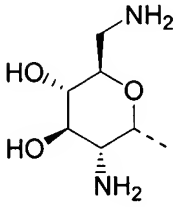
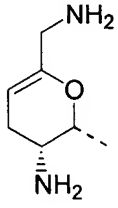
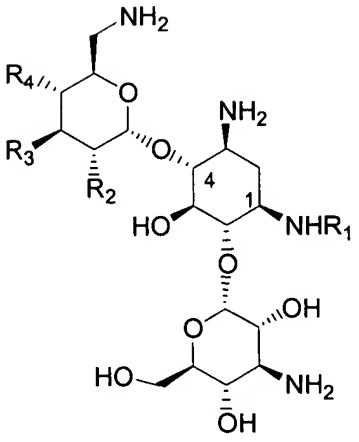
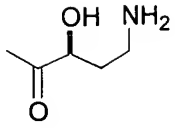
R_1	R_2	
H		**Gentamicin**
AHP		**Netilmicin**
CH_3CH_2		**Isepamicin**

FIGURE 1



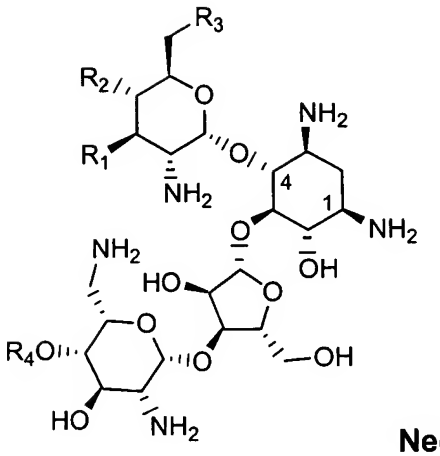
AHB =



Kanamycin-Based

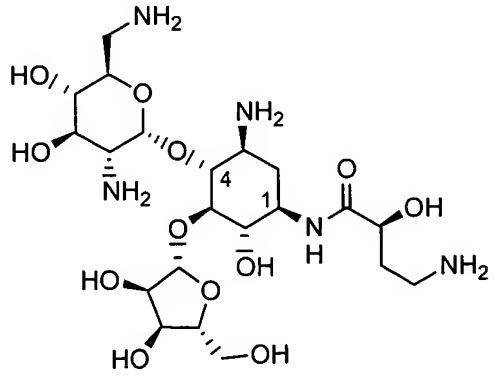
R_1	R_2	R_3	R_4	
AHB	OH	OH	OH	**Amikacin**
H	NH_2	OH	OH	**Dibekacin**
AHB	NH_2	H	H	**Arbekacin**
H	NH_2	H	OH	**Tobramycin**

FIGURE 2



Neomycin-Based

	R_1	R_2	R_3	R_4
Neomycin	OH	OH	NH_2	H
Paromomycin	OH	OH	OH	H
Lividomycin	H	OH	NH_2	Mannopyranose



Butirosin

FIGURE 3

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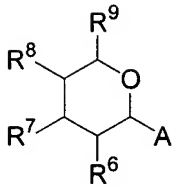
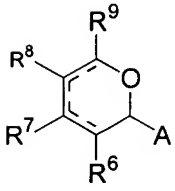
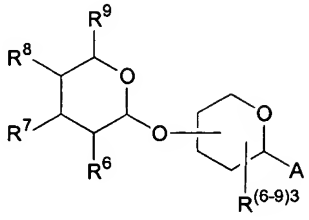


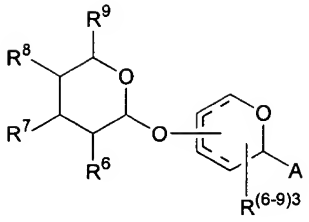
(IIa)



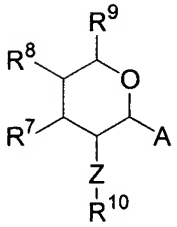
(IIIa)



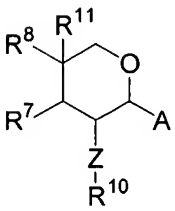
(IIa-1)



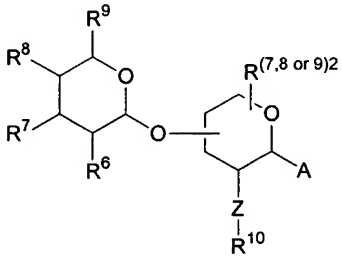
(IIIa-1)



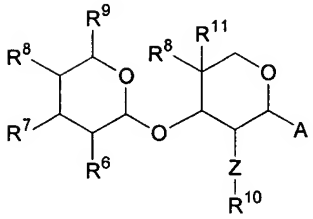
(IVa)



(Va)



(IVa-1)



(Va-1)

--- represents a double bond at either C2-C3, C3-C4 or C4-C5

(IIa - Va)
(IIa-1 - Va-1)

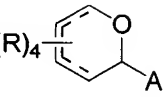
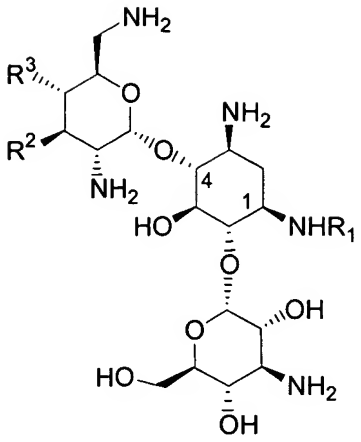
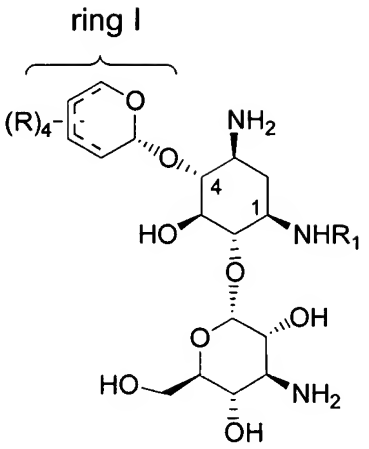


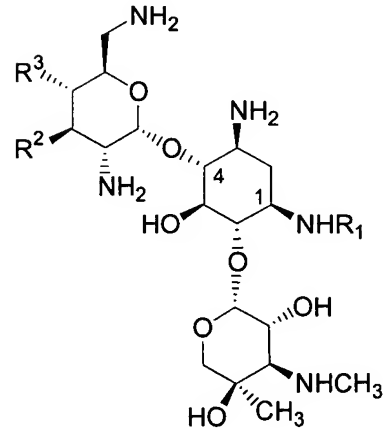
FIGURE 4

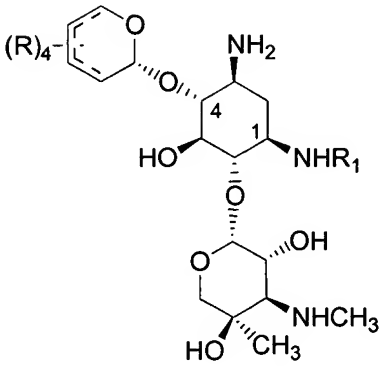




"Ring I" Substituted

R_1	R_2	R_3		R_1
AHB	H	H	Arbekacin	AHB
H	H	OH	Tobramycin	H

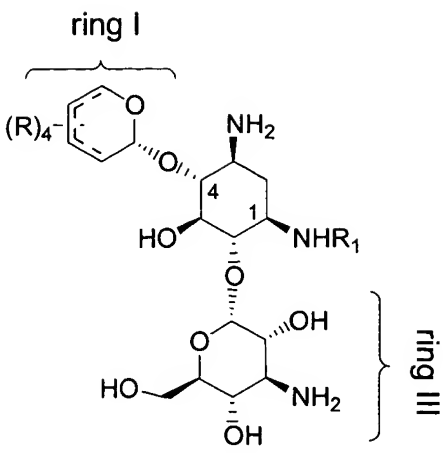




"Ring I" Substituted

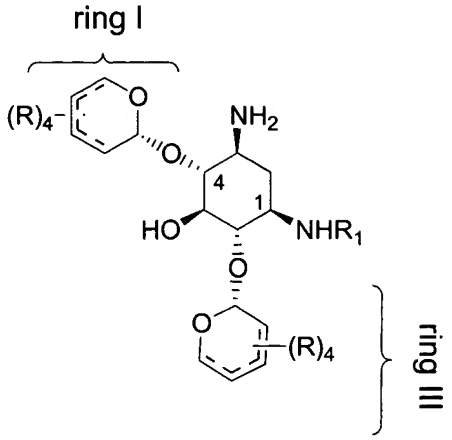
R_1	R_2	R_3		R_1
H	H	H	Gentimicin	H
AHP	H	H	Netilmicin	APH

FIGURE 5



"Ring I" Substituted

R_1
AHB
AHP
Ethyl
H



"Ring I and Ring III" Substituted

R_1
AHB
AHP
Ethyl
H

FIGURE 6

TITLE: New Aminoglycoside Antibiotics as Novel Anti-Infective Agents

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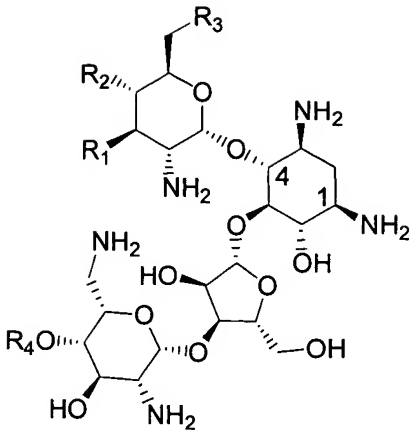
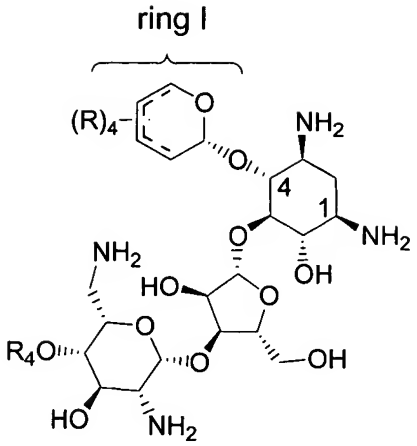
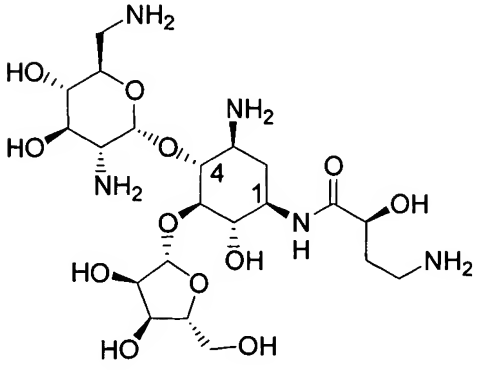


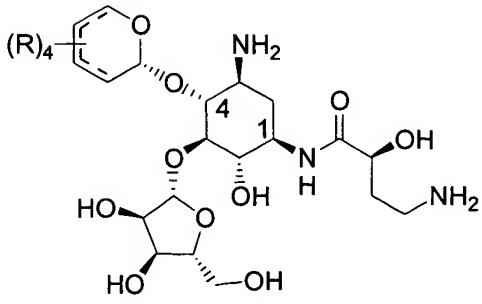


"Ring I" Substituted

R_1	R_2	R_3	R_4		R_4
OH	OH	NH_2	H	**Neomycin**	H
OH	OH	OH	H	**Paromomycin**	H
H	OH	NH_2	Manno-pyranose	**Lividomycin**	Manno-pyranose



Butirosin



"Ring I" Substituted

FIGURE 7

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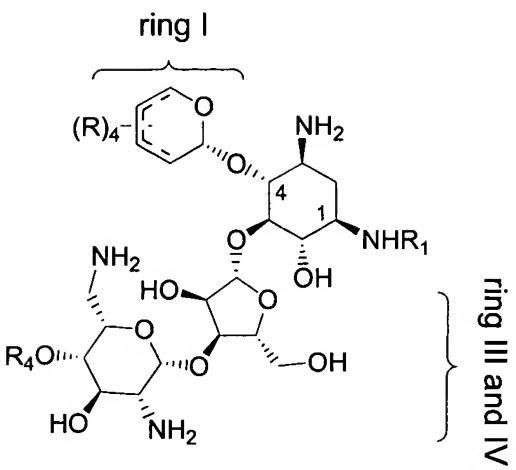
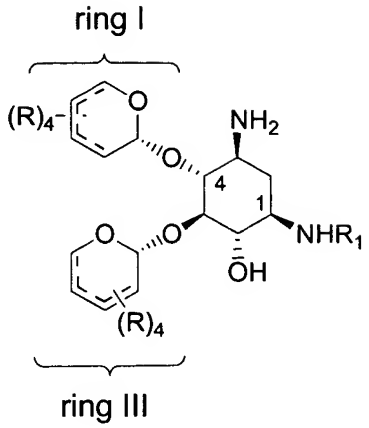




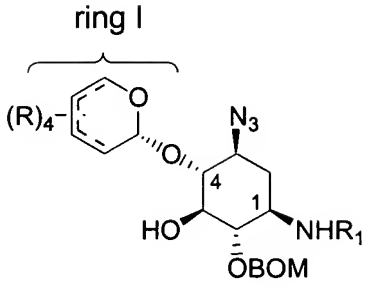
"Ring I" Substituted

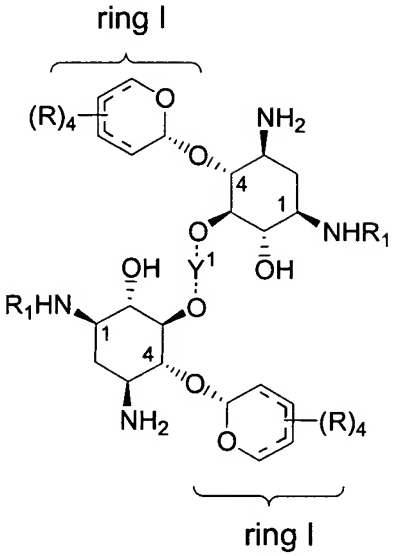
R_1
AHB
AHP
Ethyl
H

"Ring I and Ring III" Substituted

R_1
AHB
AHP
Ethyl
H

FIGURE 8





"Ring I" Substituted

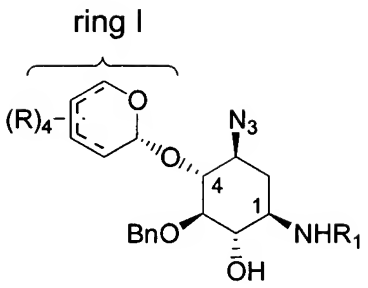
R_1
AHB
AHP
Ethyl
H

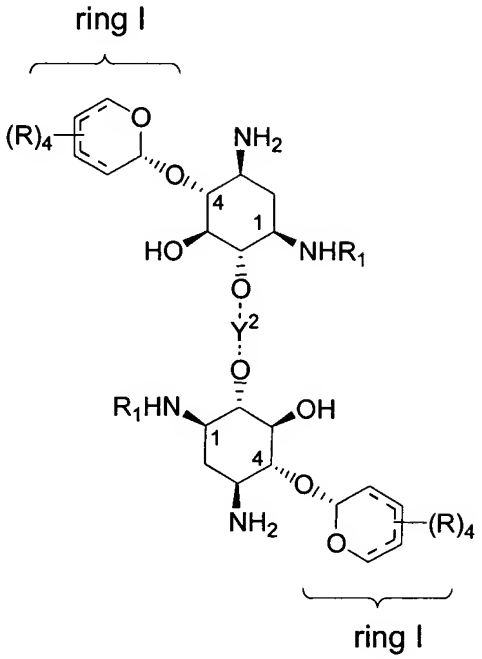
4,5-Substituted

R_1
AHB
AHP
Ethyl
H

Y^1 = divalent linking group

FIGURE 9





"Ring I" Substituted

R_1
AHB
AHP
Ethyl
H

4,6-Substituted

R_1
AHB
AHP
Ethyl
H

Y^2 = divalent linking group

FIGURE 10

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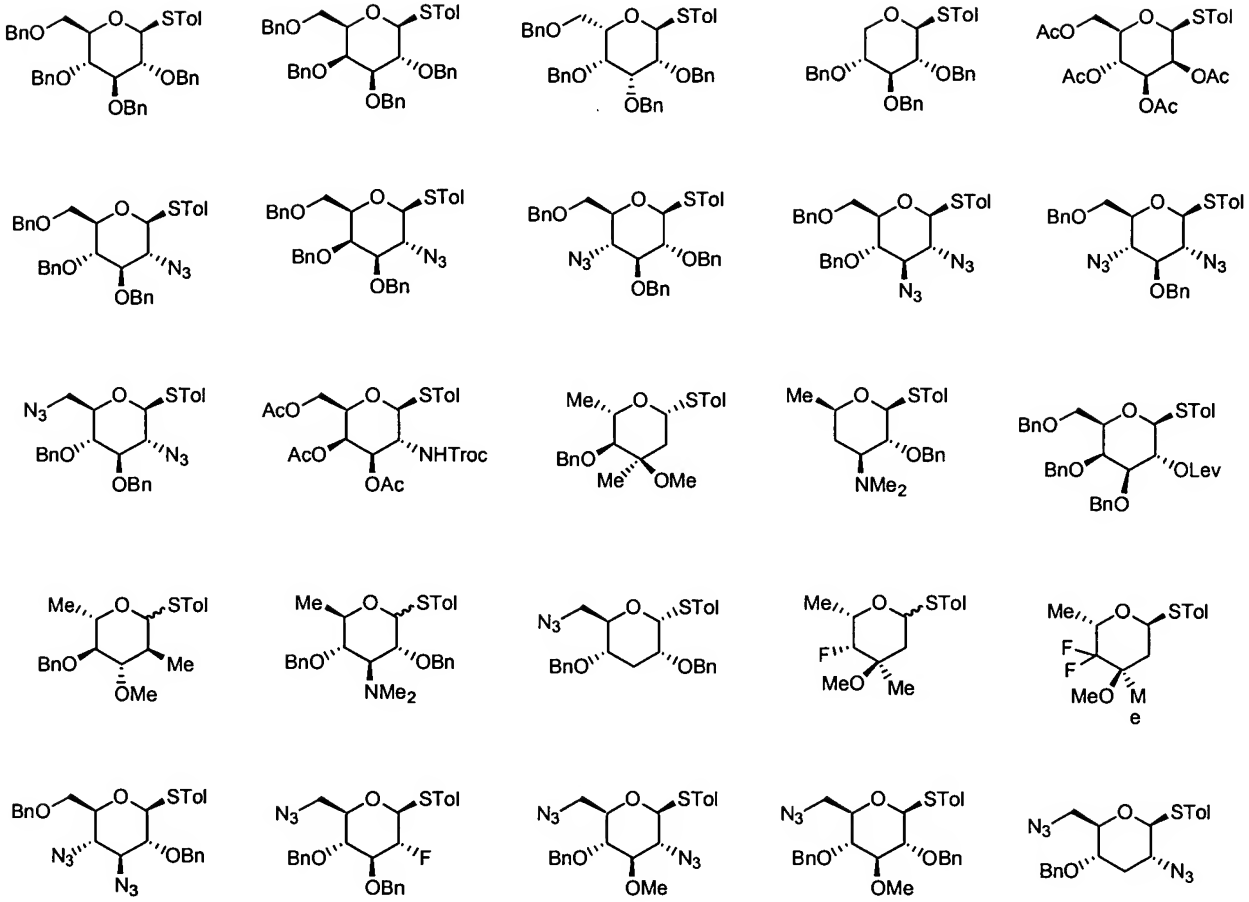

FIGURE 11

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DOCKET: 8024-004-US

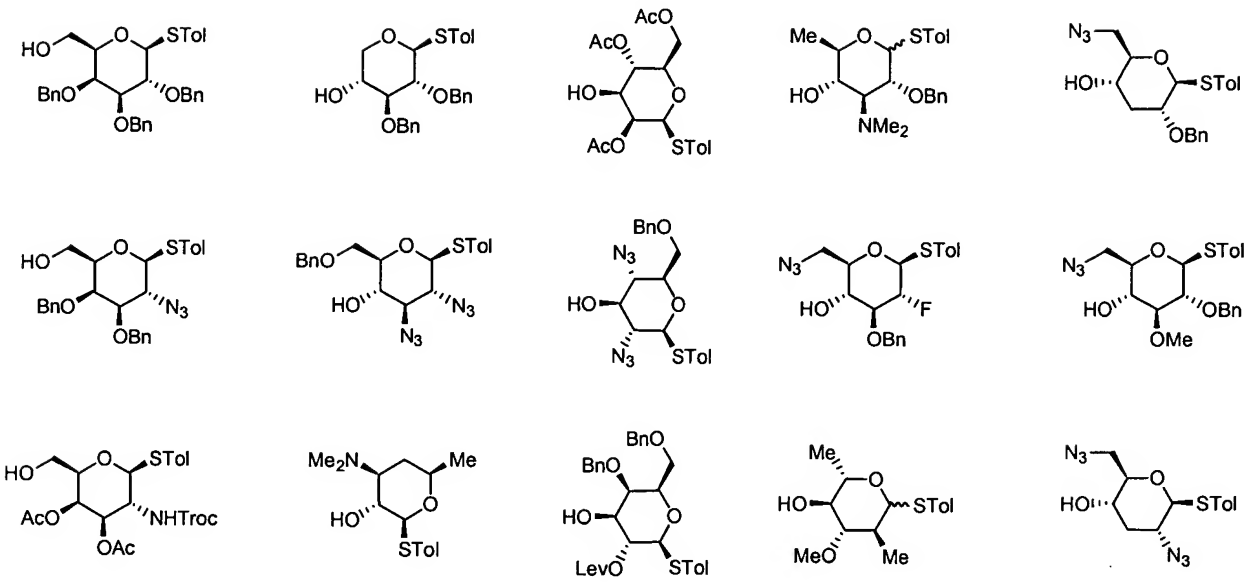

FIGURE 12

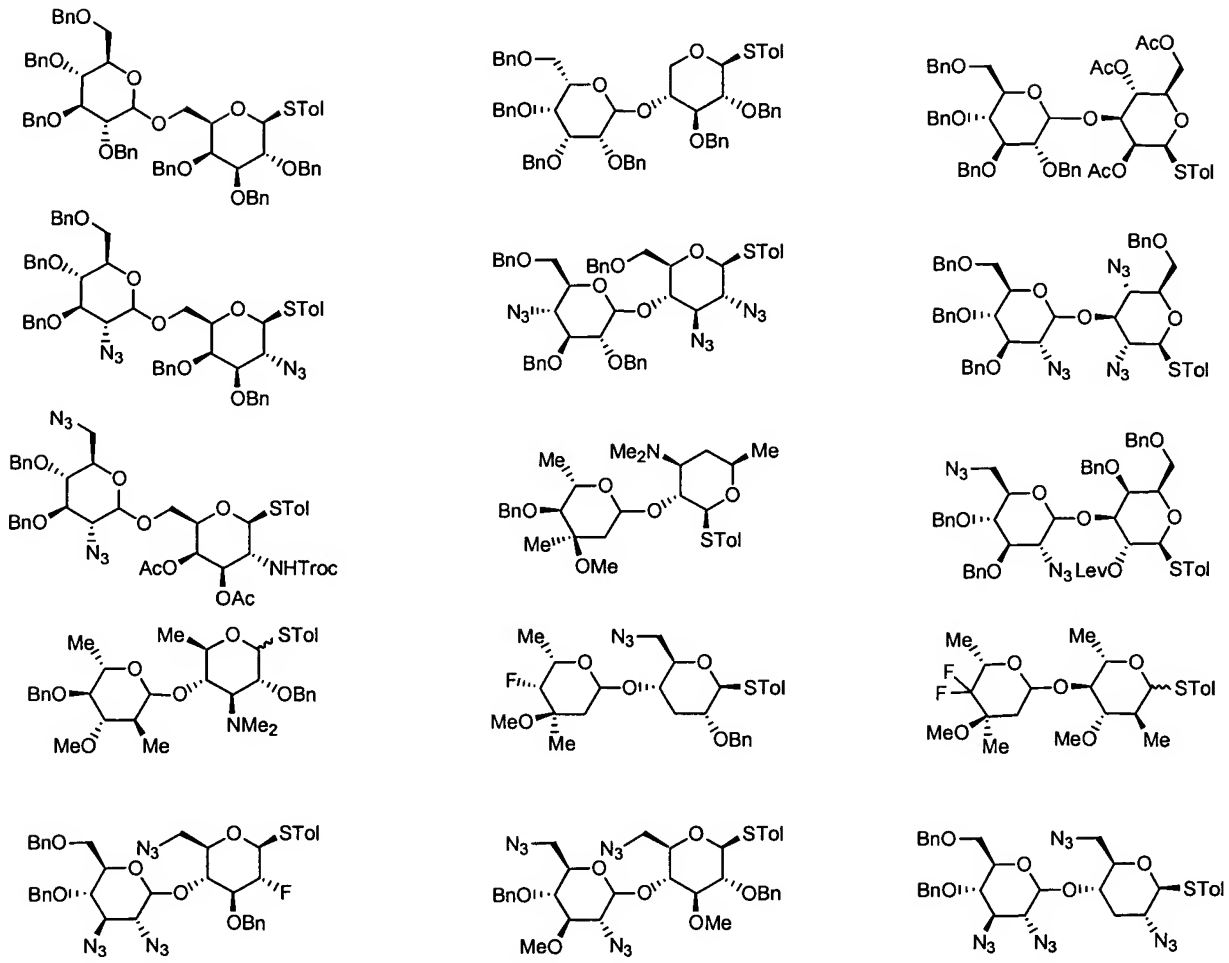

FIGURE 13